WHAT IS CLAIMED IS:

1. A non-naturally occurring bifunctional molecule of less than about 5000 daltons consisting of a drug moiety and a targeting moiety, wherein said drug moiety and said targeting moiety are optionally joined by a linking group and said bifunctional molecule exhibits a modulated biodistribution upon administration to a host as compared to a free drug control.

2. The bifunctional molecule according to Claim 1, wherein said bifunctional molecule comprises a linking group.

3. The bifunctional molecule according to Claim 1, wherein said bifunctional molecule does not include a linking group.

4. The bifunctional molecule according to Claim 1, wherein said bifunctional molecule exhibits increased efficacy upon administration to a host as compared to a free drug control.

5. The bifunctional molecule according to Claim 1, wherein said targeting moiety binds to a protein.

6. The bifunctional molecule according to Claim 4, wherein said protein that is bound by said targeting moiety is an extracellular protein.

7. The bifunctional molecule according to Claim 1, wherein said protein that is bound by said targeting moiety is an intracellular protein.

8. A targeted synthetic bifunctional molecule of less than about 5000 daltons of the formula:

wherein:

X is a drug moiety;

L is a bond or a linking group; and

Z is a targeting ligand;

wherein X and Z are different and said drug moiety has a modulated biodistribution upon administration to a host as compared to a free drug control.

9. The bifunctional molecule according to Claim 8, wherein said bifunctional molecule exhibits increased efficacy upon administration to a host as compared to a free drug control.

10. The bifunctional molecule according to Claim 8, wherein said drug moiety has a molecular weight of from about 50 to 2000 D.

11. The bifunctional molecule according to Claim 8, wherein said drug moiety binds to a protein target.

12. The bifunctional molecule according to Claim 8, wherein said targeting moiety binds to an extracellular protein.

13. The bifunctional molecule according to Claim 8, wherein said targeting moiety binds to an intracellular protein.

14. The bifunctional molecule according to Claim 8, wherein said bifunctional molecule comprises a linking group.

15. The bifunctional molecule according to Claim 8, wherein said targeting moiety has substantially no pharmacologic activity apart from binding to an endogenous protein of said host.

16. A method for modulating the biodistribution of a drug upon administration to a host, said method comprising:

administering to said mammalian host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or an active derivative thereof and a targeting moiety optionally joined by a linking group, wherein said bifunctional molecule has a modulated biodistribution upon administration to said host as compared to a free drug control;

whereby said biodistribution of said drug upon administration to said host is modulated as compared to a free drug control.

17. The method according to Claim 16, wherein said bifunctional molecule exhibits enhanced efficacy upon administration to said host as compared to a free drug control.

18. The method according to Claim 16, wherein said bifunctional molecule exhibits reduced toxicity upon administration to said host as compared to a free drug control.

19. The method according to Claim 16, wherein said targeting moiety binds to an intracellular protein.

20. The method according to Claim 16, wherein said targeting moiety binds to an extracellular protein.

21. The method according to Claim 16, wherein said drug target is a protein.

22. The method according to Claim 21, wherein said bifunctional molecule comprises a linking group.

23. The method according to Claim 21, wherein said bifunctional molecule is administered as a pharmaceutical preparation.

24. A method for targeting a drug to an intracellular site of a mammalian host, said method comprising:

administering to said mammalian host an effective amount of a bifunctional molecule comprising a drug moiety and a targeting moiety optionally joined by a linking group, wherein said drug and targeting moieties bind to intracellular proteins and said bifunctional molecule exhibits a modulated biodistribution upon administration to a mammalian host as compared to a free drug control;

whereby said drug is targeted to an intracellular site of a mammalian host.

25. The method according to Claim 24, wherein said bifunctional molecule comprises a linking group.

26. The method according to Claim 24, wherein said bifunctional molecule does not include a linking group.

27. A method for targeting a drug to an extracellular site of a mammalian host, said method comprising:

administering to said mammalian host an effective amount of a bifunctional molecule comprising a drug moiety and targeting moiety optionally joined by a linking group, wherein said drug and a targeting moieties bind to extracellular proteins and said bifunctional molecule exhibits a modulated biodistribution upon administration to a mammalian host as compared to a free drug control;

whereby said drug is targeted to an extracellular site of a mammalian host.

28 The method according to Claim 25, wherein said bifunctional molecule comprises a linking group.

29 The method according to Claim 25, wherein said bifunctional molecule does not include a linking group.

30. In a method of administering a drug to a host in need of said drug, the improvement comprising:

administering to said host an effective amount of a bifunctional molecule of less than about 5000 daltons consisting of said drug or a derivative thereof covalently linked, either directly or through an optional linking group, to a targeting moiety.

31. The method according to Claim 29, wherein said host is a mammalian host.

32 The method according to Claim 30, wherein said mammalian host is human.

33. The method according to Claim 30, wherein said drug is a small molecule.

34. The method according to Claim 30, wherein said targeting moiety binds to an endogenous biodistribution modulating protein.

35. The method according to Claim 34, wherein said endogenous biodistribution modulating protein is an extracellular protein.

36 The method according to Claim 34, wherein said endogenous biodistribution modulating protein is an intracellular protein.

37. A pharmaceutical preparation comprising a bifunctional molecule according to Claim 1.

38. A kit comprising the pharmaceutical preparation according to Claim 35 and instructions for use in a therapeutic method.